

09 868276
[Signature]

Welcome to STN International! Enter x:x

LOGINID:sssptal611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1	Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	"Ask CAS" for self-help around the clock
NEWS 3 SEP 09	CA/CAPLUS records now contain indexing from 1907 to the present
NEWS 4 DEC 08	INPADOC: Legal Status data reloaded
NEWS 5 SEP 29	DISSABS now available on STN
NEWS 6 OCT 10	PCTFULL: Two new display fields added
NEWS 7 OCT 21	BIOSIS file reloaded and enhanced
NEWS 8 OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9 NOV 24	MSDS-CCOHS file reloaded
NEWS 10 DEC 08	CABA reloaded with left truncation
NEWS 11 DEC 08	IMS file names changed
NEWS 12 DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS 13 DEC 09	STN Entry Date available for display in REGISTRY and CA/CAPLUS
NEWS 14 DEC 17	DGENE: Two new display fields added
NEWS 15 DEC 18	BIOTECHNO no longer updated
NEWS 16 DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS 17 DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS 18 DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19 DEC 22	ABI-INFORM now available on STN
NEWS 20 JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 21 JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAPLUS
NEWS 22 FEB 05	German (DE) application and patent publication number format changes
NEWS EXPRESS	DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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~~09839289~~.1

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 13:37:24 ON 12 FEB 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.63

0.63

FILE 'REGISTRY' ENTERED AT 13:39:10 ON 12 FEB 2004

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 FEB 2004 HIGHEST RN 649538-27-2

DICTIONARY FILE UPDATES: 11 FEB 2004 HIGHEST RN 649538-27-2

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading c:\program files\stnexp\queries\09868276.1

L1 STRUCTURE UPLOADED

=> d l1 ss full

L1 HAS NO ANSWERS

'SS FULL' IS NOT A VALID STRUCTURE FORMAT KEYWORD

Structure Formats

SIA ----- Structure Image, Attributes, and map table if it contains data. (Default)

SIM ----- Structure Image.

SAT ----- Structure ATtributes and map table if it contains data.

SCT ----- Structure Connection Table and map table if it contains data.

SDA ----- All Structure Data (image, attributes, connection table and map table if it contains data).

NOS ----- NO Structure data.

ENTER STRUCTURE FORMAT (SIM), NOS:s l1 sss full

'S L1 SSS FULL' IS NOT A VALID STRUCTURE FORMAT KEYWORD

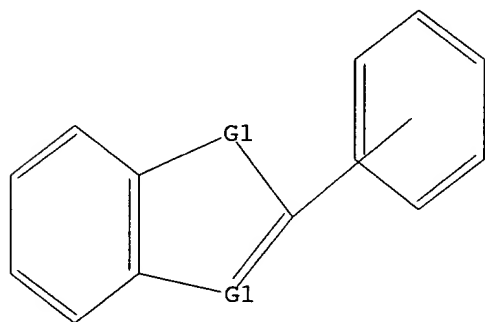
Structure Formats

09 868276

09039209-1

Page 3

SIA ----- Structure Image, Attributes, and map table if it contains data. (Default)
 SIM ----- Structure Image.
 SAT ----- Structure ATtributes and map table if it contains data.
 SCT ----- Structure Connection Table and map table if it contains data.
 SDA ----- All Structure Data (image, attributes, connection table and map table if it contains data).
 NOS ----- NO Structure data.
 ENTER STRUCTURE FORMAT (SIM), NOS:sim
 L1 STR



G1 C,N,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 13:41:14 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 9.2% PROCESSED 366978 ITERATIONS

7282 ANSWERS

< 10.0% PROCESSED 400000 ITERATIONS

7603 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.26

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS: EXCEEDS 1000000

PROJECTED ANSWERS: EXCEEDS 75253

L2 7603 SEA SSS FUL L1

=> d his

(FILE 'HOME' ENTERED AT 13:37:24 ON 12 FEB 2004)

FILE 'REGISTRY' ENTERED AT 13:39:10 ON 12 FEB 2004

L1 STRUCTURE UPLOADED

L2 7603 S L1 SSS FULL

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

Patel

<2/13/2004>

	ENTRY	SESSION
FULL ESTIMATED COST	157.10	157.73

FILE 'CAPLUS' ENTERED AT 13:42:02 ON 12 FEB 2004
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FILE COVERS 1907 - 12 Feb 2004 VOL 140 ISS 7
FILE LAST UPDATED: 11 Feb 2004 (20040211/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 232 L2

=> s 13 andarterial thromboembolism

MISSING OPERATOR L3 ANDARTERIAL

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s 13 and arterial thromboembolism

L4 0 L3 AND ARTERIAL THROMBOEMBOLISM

=> s 13 andl thromboembolism

MISSING OPERATOR L3 ANDL

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s 13 and thromboembolism

L5 3 L3 AND THROMBOEMBOLISM

=> s 13 and indole

L6 69 L3 AND INDOLE

=> s 15 and 16

L7 1 L5 AND L6

=> d his

(FILE 'HOME' ENTERED AT 13:37:24 ON 12 FEB 2004)

FILE 'REGISTRY' ENTERED AT 13:39:10 ON 12 FEB 2004

L1 STRUCTURE UPLOADED

L2 7603 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:42:02 ON 12 FEB 2004

L3 232 S L2
L4 0 S L3 AND ARTERIAL THROMBOEMBOLISM
L5 3 S L3 AND THROMBOEMBOLISM
L6 69 S L3 AND INDOLE
L7 1 S L5 AND L6

=> d 15 fbib hitstr abs total

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:2863 CAPLUS
DN 140:77149
TI Preparation of benzimidazoles as estrogen agonists/antagonists
IN Chesworth, Richard; Gegnas, Laura Dianne
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000817	A2	20031231	WO 2003-IB2670	20030612
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2004002524	A1	20040101	US 2002-391337PP	20020624
				US 2003-460565	20030611
				US 2002-391337PP	20020624

OS MARPAT 140:77149

IT 639523-57-2P, 4-[2-(4-Iodophenyl)benzimidazol-1-yl]phenol
639523-62-9P, 4-[2-(3-Methylthiophen-2-yl)benzimidazol-1-yl]phenol
639523-68-5P, 4-[2-(4-Hydroxyphenyl)benzimidazol-1-yl]phenol
639523-70-9P, 4-[2-[4-[2-(Pyrrolidin-1-yl)ethoxy]phenyl]benzimidazol-1-yl]phenol 639523-71-0P,
4-[2-(2-Chlorophenyl)benzimidazol-1-yl]phenol 639523-80-1P,
4-[2-(4-Trifluoromethoxyphenyl)benzimidazol-1-yl]phenol
639523-81-2P, 1-[4-[1-(4-Hydroxyphenyl)-1H-benzimidazol-2-yl]phenyl]ethanone 639523-82-3P, 4-[1-(4-Hydroxyphenyl)-1H-benzimidazol-2-yl]benzonitrile 639523-83-4P,
4-[2-(4-Vinylphenyl)benzimidazol-1-yl]phenol 639523-84-5P,
4-[2-(2-Chlorophenyl)benzimidazol-1-yl]-3-methylphenol
639523-87-8P, 3-Methyl-4-(2-o-tolylbenzimidazol-1-yl)phenol
639523-96-9P, 4-[1-(Thiophen-3-yl)-1H-benzimidazol-2-yl]phenol
639523-98-1P, 4-[1-(Thiophen-2-yl)-1H-benzimidazol-2-yl]phenol
639524-00-8P, 4-[1-(4-Hydroxyphenyl)-1H-benzimidazol-2-yl]benzoic acid methyl ester 639524-03-1P, 4-[1-(4-Hydroxyphenyl)-1H-

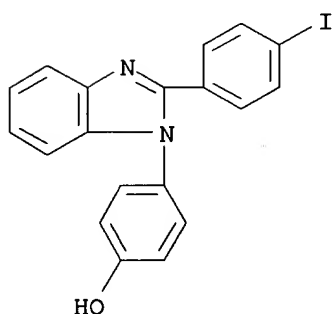
benzimidazol-2-yl]benzoic acid ethyl ester **639524-04-2P**,
 4-[1-(4-Hydroxyphenyl)-1H-benzimidazol-2-yl]benzoic acid isopropyl ester
639524-09-7P, N-Benzhydryl-4-[1-(4-hydroxyphenyl)-1H-benzimidazol-
 2-yl]benzamide **639524-11-1P**, 4-[1-(4-Hydroxyphenyl)-1H-
 benzimidazol-2-yl]-N-isopropylbenzamide **639524-13-3P**,
 N-Benzyl-4-[1-(4-hydroxyphenyl)-1H-benzimidazol-2-yl]benzamide
639524-15-5P, 4-[1-(4-Hydroxyphenyl)-1H-benzimidazol-2-yl]-N-(1-
 phenylethyl)benzamide **639524-17-7P**, 4-[1-(4-Hydroxyphenyl)-1H-
 benzimidazol-2-yl]-N-thiophen-2-ylmethylbenzamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(estrogen agonist/antagonist; preparation of benzimidazoles as estrogen
 agonists/antagonists)

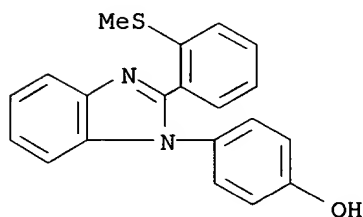
RN 639523-57-2 CAPLUS

CN Phenol, 4-[2-(4-iodophenyl)-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)



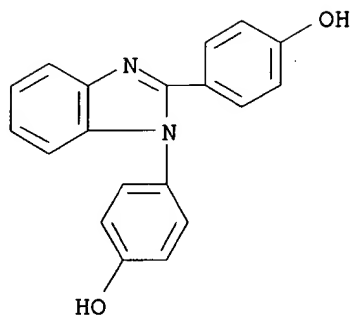
RN 639523-62-9 CAPLUS

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 INDEX NAME)



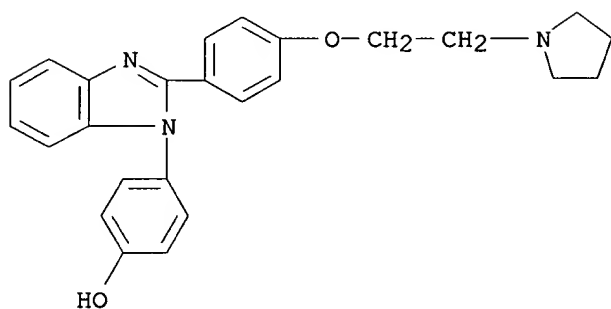
RN 639523-68-5 CAPLUS

CN Phenol, 4,4'-(1H-benzimidazole-1,2-diyl)bis- (9CI) (CA INDEX NAME)



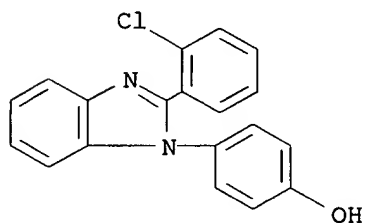
RN 639523-70-9 CAPLUS

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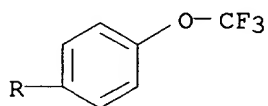
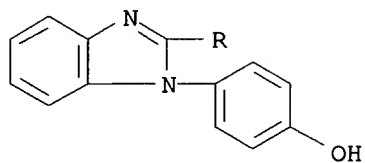
RN 639523-71-0 CAPLUS

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NAME)



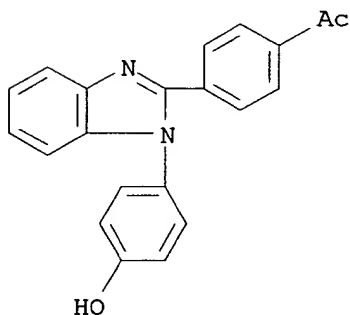
RN 639523-80-1 CAPLUS

CN Phenol, 4-[2-[4-(trifluoromethoxy)phenyl]-1H-benzimidazol-1-yl]- (9CI)
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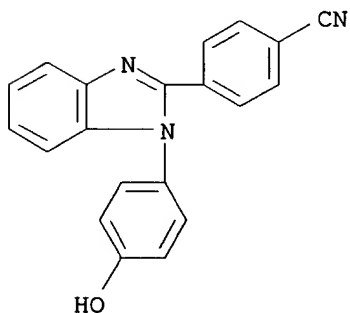
RN 639523-81-2 CAPLUS

CN Ethanone, 1-[4-[1-(4-hydroxyphenyl)-1H-benzimidazol-2-yl]phenyl]- (9CI)
(CA INDEX NAME)



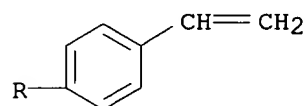
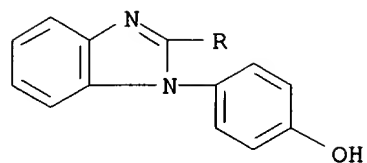
RN 639523-82-3 CAPLUS

CN Benzonitrile, 4-[1-(4-hydroxyphenyl)-1H-benzimidazol-2-yl]- (9CI) (CA
INDEX NAME)



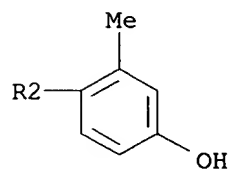
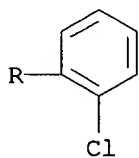
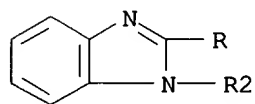
RN 639523-83-4 CAPLUS

CN Phenol, 4-[2-(4-ethenylphenyl)-1H-benzimidazol-1-yl]- (9CI) (CA INDEX
NAME)



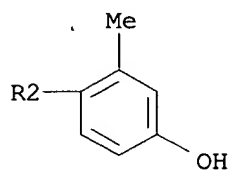
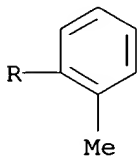
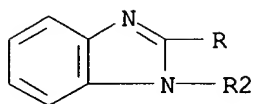
RN 639523-84-5 CAPLUS

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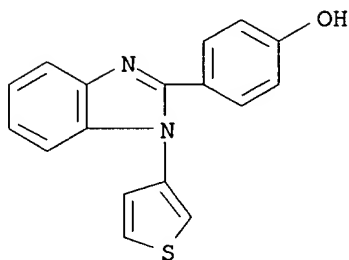
RN 639523-87-8 CAPLUS

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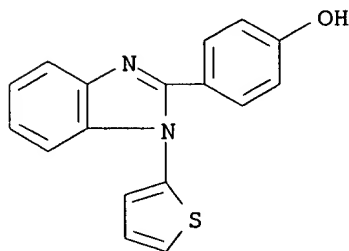
RN 639523-96-9 CAPLUS

CN Phenol, 4-[1-(3-thienyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



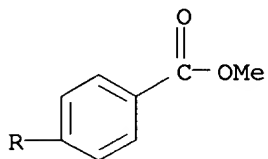
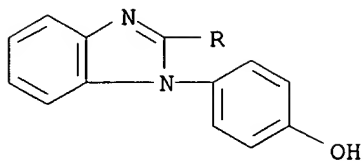
RN 639523-98-1 CAPLUS

CN Phenol, 4-[1-(2-thienyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



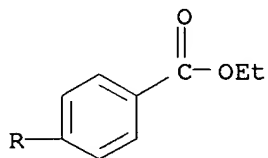
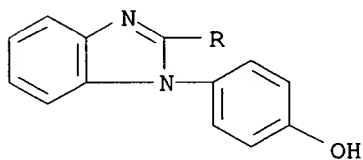
RN 639524-00-8 CAPLUS

CN Benzoic acid, 4-[1-(4-hydroxyphenyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



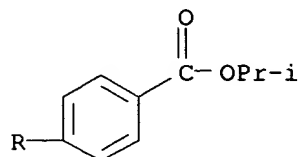
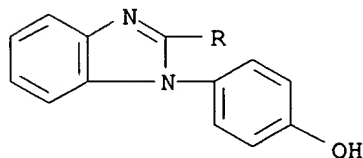
RN 639524-03-1 CAPLUS

CN Benzoic acid, 4-[1-(4-hydroxyphenyl)-1H-benzimidazol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

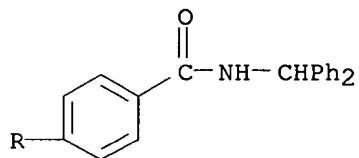
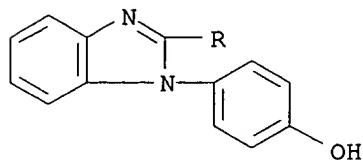


RN 639524-04-2 CAPLUS

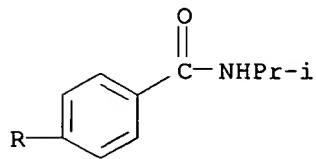
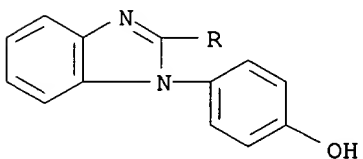
CN Benzoic acid, 4-[1-(4-hydroxyphenyl)-1H-benzimidazol-2-yl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 639524-09-7 CAPLUS

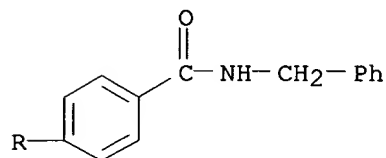
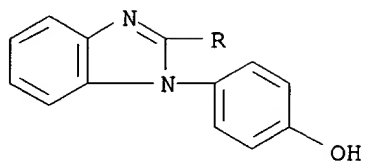
CN Benzamide, N-(diphenylmethyl)-4-[1-(4-hydroxyphenyl)-1H-benzimidazol-2-yl]-
(9CI) (CA INDEX NAME)

RN 639524-11-1 CAPLUS

CN Benzamide, 4-[1-(4-hydroxyphenyl)-1H-benzimidazol-2-yl]-N-(1-methylethyl)-
(9CI) (CA INDEX NAME)

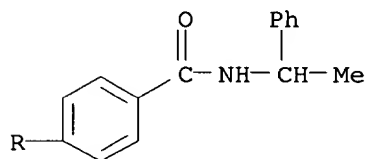
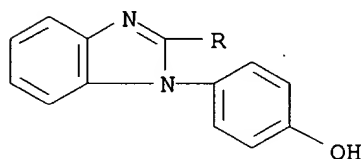
RN 639524-13-3 CAPLUS

CN Benzamide, 4-[1-(4-hydroxyphenyl)-1H-benzimidazol-2-yl]-N-(phenylmethyl)-
(9CI) (CA INDEX NAME)



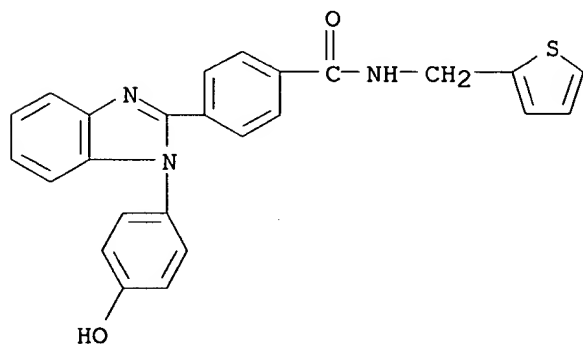
RN 639524-15-5 CAPLUS

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(9CI) (CA INDEX NAME)



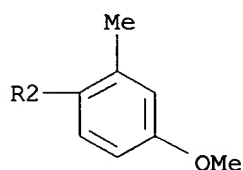
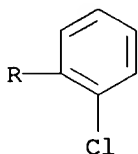
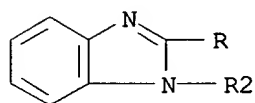
RN 639524-17-7 CAPLUS

CN Benzamide, 4-[1-(4-hydroxyphenyl)-1H-benzimidazol-2-yl]-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

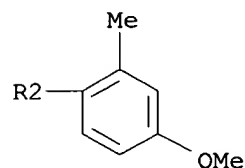
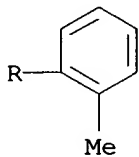
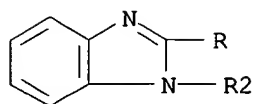


IT 639523-86-7P, 2-(2-Chlorophenyl)-1-(4-methoxy-2-methylphenyl)-1H-

benzimidazole **639523-89-0P**, 1-(4-Methoxy-2-methylphenyl)-2-o-tolyl-1H-benzimidazole **639523-97-0P**, 2-(4-Methoxyphenyl)-1-thiophen-3-yl-1H-benzimidazole **639523-99-2P**, 2-(4-Methoxyphenyl)-1-thiophen-2-yl-1H-benzimidazole **639524-02-0P**, 4-[1-(4-Methoxyphenyl)-1H-benzimidazol-2-yl]benzoic acid methyl ester **639524-05-3P**, 4-[1-(4-Benzyloxyphenyl)-1H-benzimidazol-2-yl]benzoic acid methyl ester **639524-06-4P**, 4-[1-(4-Benzyloxyphenyl)-1H-benzimidazol-2-yl]benzoic acid **639524-07-5P**, 4-[1-[4-(Tetrahydropyran-2-yloxy)phenyl]-1H-benzimidazol-2-yl]benzoic acid methyl ester **639524-08-6P**, 4-[1-[4-(Tetrahydropyran-2-yloxy)phenyl]-1H-benzimidazol-2-yl]benzoic acid **639524-12-2P**, 4-[1-(4-Benzyloxyphenyl)-1H-benzimidazol-2-yl]-N-isopropylbenzamide **639524-14-4P**, N-Benzyl-4-[1-[4-(tetrahydropyran-2-yloxy)phenyl]-1H-benzimidazol-2-yl]benzamide **639524-18-8P**, 4-[1-(4-Methoxyphenyl)-1H-benzimidazol-2-yl]-N-thiophen-2-ylmethylbenzamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of benzimidazoles as estrogen agonists/antagonists)
 RN 639523-86-7 CAPLUS
 CN 1H-Benzimidazole, 2-(2-chlorophenyl)-1-(4-methoxy-2-methylphenyl)- (9CI)
 (CA INDEX NAME)

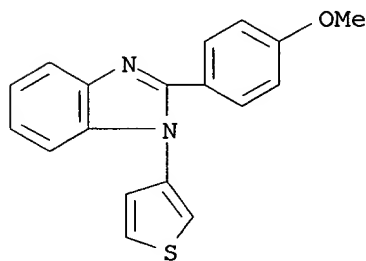


RN 639523-89-0 CAPLUS
 CN 1H-Benzimidazole, 1-(4-methoxy-2-methylphenyl)-2-(2-methylphenyl)- (9CI)
 (CA INDEX NAME)



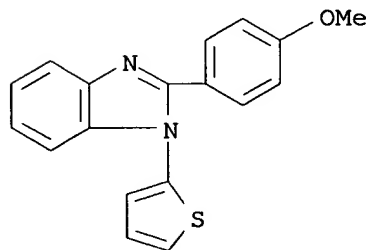
RN 639523-97-0 CAPLUS

CN 1H-Benzimidazole, 2-(4-methoxyphenyl)-1-(3-thienyl)- (9CI) (CA INDEX NAME)



RN 639523-99-2 CAPLUS

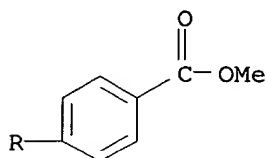
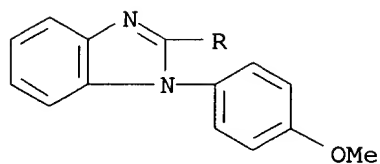
CN 1H-Benzimidazole, 2-(4-methoxyphenyl)-1-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 639524-02-0 CAPLUS

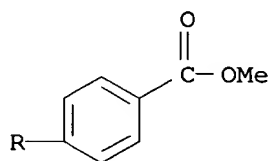
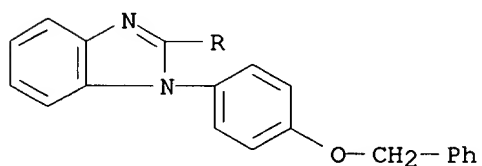
CN Benzoic acid, 4-[1-(4-methoxyphenyl)-1H-benzimidazol-2-yl]-, methyl ester

(9CI) (CA INDEX NAME)



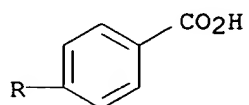
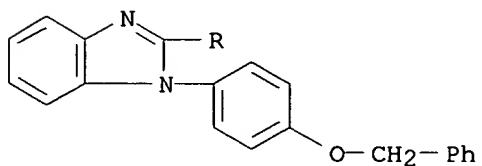
RN 639524-05-3 CAPLUS

CN Benzoic acid, 4-[1-[4-(phenylmethoxy)phenyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



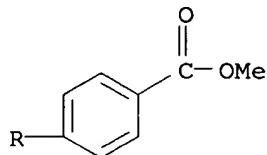
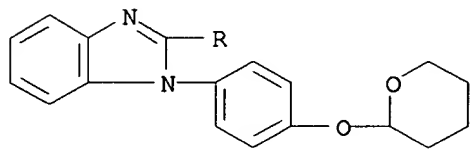
RN 639524-06-4 CAPLUS

CN Benzoic acid, 4-[1-[4-(phenylmethoxy)phenyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



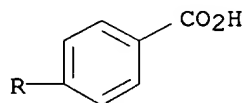
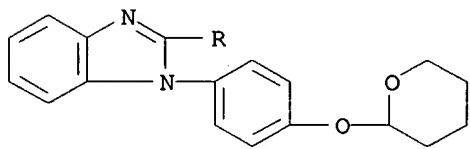
RN 639524-07-5 CAPLUS

CN Benzoic acid, 4-[1-[4-[(tetrahydro-2H-pyran-2-yl)oxy]phenyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



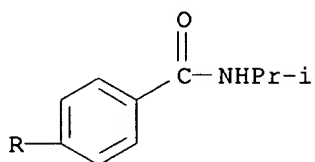
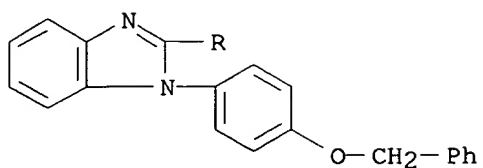
RN 639524-08-6 CAPLUS

CN Benzoic acid, 4-[1-[4-[(tetrahydro-2H-pyran-2-yl)oxy]phenyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



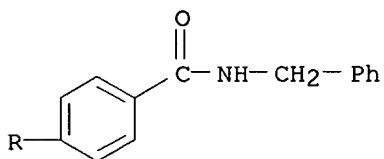
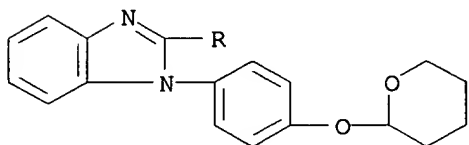
RN 639524-12-2 CAPLUS

CN Benzamide, N-(1-methylethyl)-4-[1-[4-(phenylmethoxy)phenyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



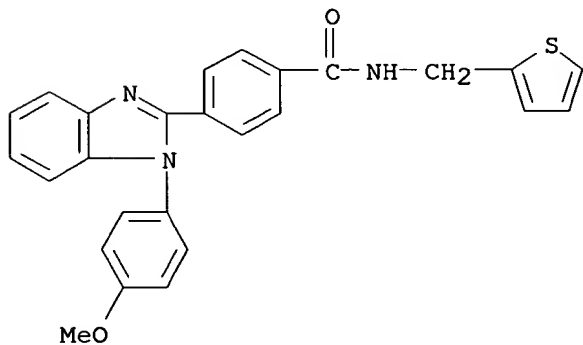
RN 639524-14-4 CAPLUS

CN Benzamide, N-(phenylmethyl)-4-[1-[4-[(tetrahydro-2H-pyran-2-yl)oxy]phenyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 639524-18-8 CAPLUS

CN Benzamide, 4-[1-(4-methoxyphenyl)-1H-benzimidazol-2-yl]-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



IT 639524-10-0, N-Benzhydryl-4-[1-(4-methoxyphenyl)-1H-benzimidazol-2-

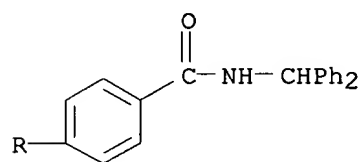
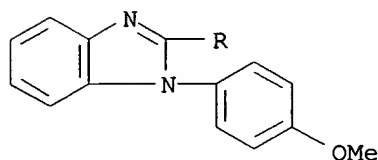
yl]benzamide **639524-16-6**, 4-[1-(4-Methoxyphenyl)-1H-benzimidazol-2-yl]-N-(1-phenylethyl)benzamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzimidazoles as estrogen agonists/antagonists)

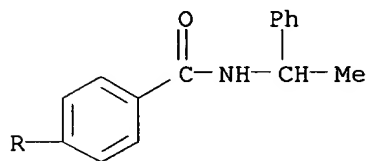
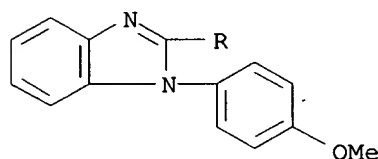
RN 639524-10-0 CAPLUS

CN Benzamide, N-(diphenylmethyl)-4-[1-(4-methoxyphenyl)-1H-benzimidazol-2-yl]-
(9CI) (CA INDEX NAME)



RN 639524-16-6 CAPLUS

CN Benzamide, 4-[1-(4-methoxyphenyl)-1H-benzimidazol-2-yl]-N-(1-phenylethyl)-
(9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1, R2 = independently (un)substituted alkyl, Ph, heteroaryl, cycloalkyl, cycloalkenyl, (un)substituted 4-hydroxyphenyl; R3, R4, R5, R6 = independently H, OH, alkyl, alkoxy, halo; with the proviso that at least one of R1 or R2 must be (un)substituted 4-hydroxyphenyl;

their prodrugs, pharmaceutical acceptable salts, or stereoisomeric mixture of I, prodrug or salt] were prepared as estrogen agonists and/or antagonists for treating estrogen receptor-mediated diseases (no data). For example, II was prepared in 5 steps via cyclocondensation of III (preparation given) in AcOH at 80° overnight to the corresponding methoxyphenylbenzimidazole followed by deprotection. Selected I are selective for the ER β receptor (no data).

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:930983 CAPLUS

DN 140:4957

TI Indole compounds and their use as estrogen agonists/antagonists

IN Chesworth, Richard

PA USA

SO U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003220377	A1	20031127	US 2003-431282	20030507
				US 2002-379161PP	20020508

OS MARPAT 140:4957

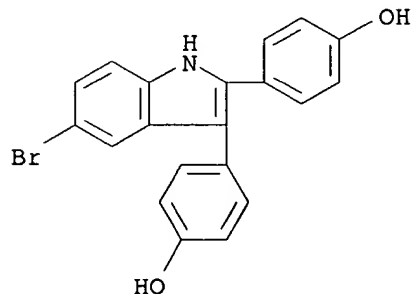
IT **628321-82-4P**, 2,3-Bis(4-hydroxyphenyl)-5-bromo-1H-indole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; in preparation of indole compds.)

RN 628321-82-4 CAPLUS

CN Phenol, 4,4'-(5-bromo-1H-indole-2,3-diyl)bis- (9CI) (CA INDEX NAME)

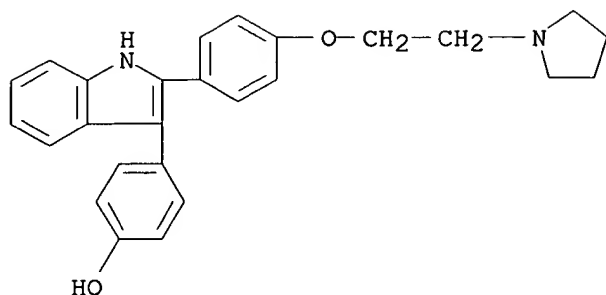


IT **628321-14-2P**

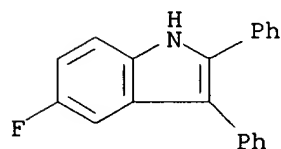
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indole derivs. and their use as estrogen agonists/antagonists)

RN 628321-14-2 CAPLUS

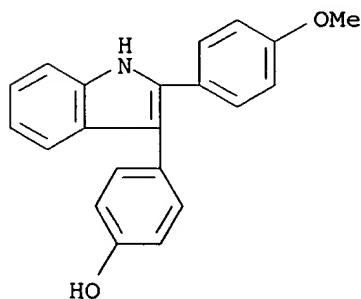
CN Phenol, 4-[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-3-yl]- (9CI)
(CA INDEX NAME)



IT **604797-61-7P**, 5-Fluoro-2,3-diphenyl-1H-indole **628320-74-1P**
 , 4-[2-(4-Methoxyphenyl)-1H-indol-3-yl]phenol **628320-79-6P**,
 2,3-Bis(4-fluorophenyl)-1H-indole **628320-83-2P**,
 4-[5-Chloro-3-(4-methoxyphenyl)-1H-indol-2-yl]phenol **628320-88-7P**
 , 2,3-Bis(4-hydroxyphenyl)-5-chloro-1H-indole **628320-92-3P**,
 2,3-Bis(4-hydroxyphenyl)-7-chloro-1H-indole **628320-97-8P**,
 2,3-Bis(4-hydroxyphenyl)-4-chloro-1H-indole **628321-02-8P**,
 2,3-Bis(4-hydroxyphenyl)-6-chloro-1H-indole **628321-05-1P**,
 4-[5-Bromo-2-(4-methoxyphenyl)-1H-indol-3-yl]phenol **628321-10-8P**
 , 4-(7-Chloro-2-phenyl-1H-indol-3-yl)phenol **628321-28-8P**,
 4-[3-(4-Hydroxyphenyl)-1H-indol-2-yl]benzoic acid methyl ester
628321-31-3P, 4-[3-(4-Hydroxyphenyl)-1H-indol-2-yl]benzoic acid
 ethyl ester **628321-35-7P**, 4-[3-(4-Hydroxyphenyl)-1H-indol-2-
 yl]benzoic acid isopropyl ester
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of indole derivs. and their use as estrogen agonists
 /antagonists)
 RN **604797-61-7** CAPLUS
 CN 1H-Indole, 5-fluoro-2,3-diphenyl- (9CI) (CA INDEX NAME)

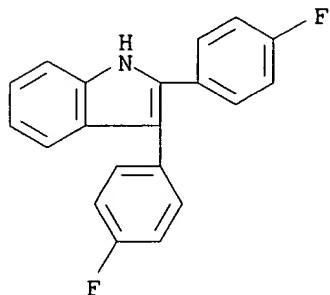


RN **628320-74-1** CAPLUS
 CN Phenol, 4-[2-(4-methoxyphenyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



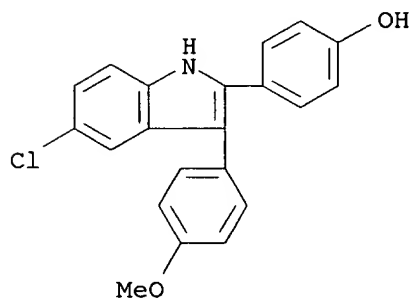
RN 628320-79-6 CAPLUS

CN 1H-Indole, 2,3-bis(4-fluorophenyl)- (9CI) (CA INDEX NAME)



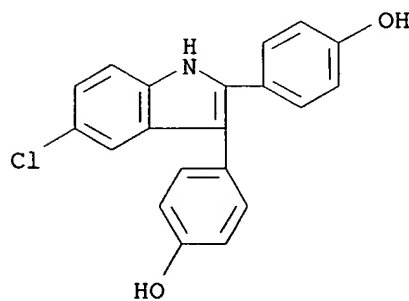
RN 628320-83-2 CAPLUS

CN Phenol, 4-[5-chloro-3-(4-methoxyphenyl)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)



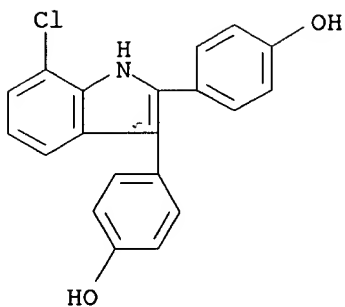
RN 628320-88-7 CAPLUS

CN Phenol, 4,4'-(5-chloro-1H-indole-2,3-diyl)bis- (9CI) (CA INDEX NAME)



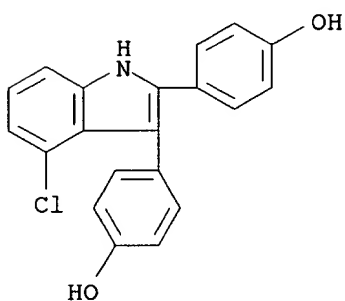
RN 628320-92-3 CAPLUS

CN Phenol, 4,4'-(7-chloro-1H-indole-2,3-diyl)bis- (9CI) (CA INDEX NAME)



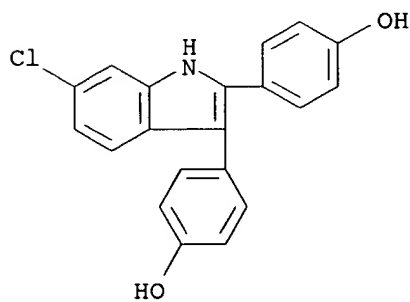
RN 628320-97-8 CAPLUS

CN Phenol, 4,4'-(4-chloro-1H-indole-2,3-diyl)bis- (9CI) (CA INDEX NAME)



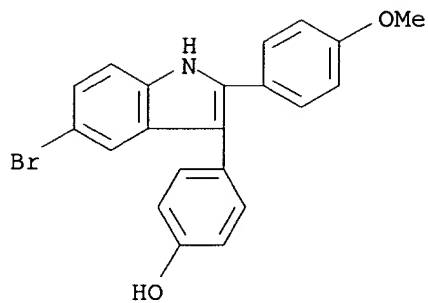
RN 628321-02-8 CAPLUS

CN Phenol, 4,4'-(6-chloro-1H-indole-2,3-diyl)bis- (9CI) (CA INDEX NAME)



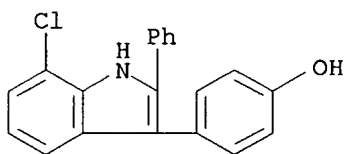
RN 628321-05-1 CAPLUS

CN Phenol, 4-[5-bromo-2-(4-methoxyphenyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



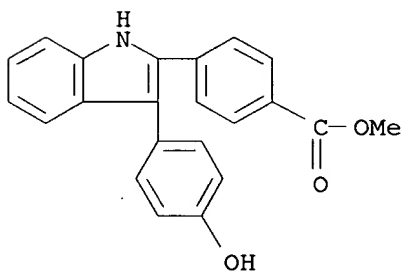
RN 628321-10-8 CAPLUS

CN Phenol, 4-(7-chloro-2-phenyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



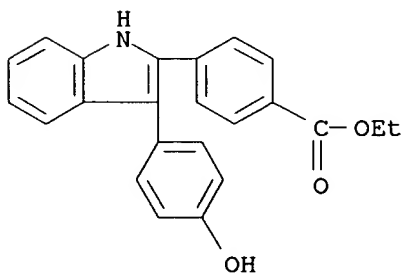
RN 628321-28-8 CAPLUS

CN Benzoic acid, 4-[3-(4-hydroxyphenyl)-1H-indol-2-yl]-, methyl ester (9CI)
(CA INDEX NAME)

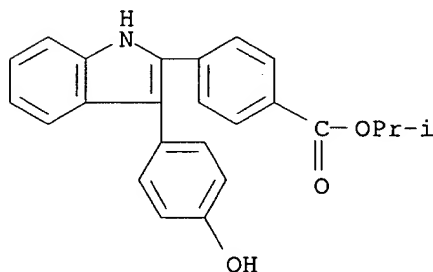


RN 628321-31-3 CAPLUS

CN Benzoic acid, 4-[3-(4-hydroxyphenyl)-1H-indol-2-yl]-, ethyl ester (9CI)
(CA INDEX NAME)



RN 628321-35-7 CAPLUS

CN Benzoic acid, 4-[3-(4-hydroxyphenyl)-1H-indol-2-yl]-, 1-methylethyl ester
(9CI) (CA INDEX NAME)

AB This invention relates to compds., in particular indoles, that are useful as estrogen agonists and antagonists and pharmaceutical uses thereof. The present invention also relates to indoles that are selective for the ER β receptor and pharmaceutical uses thereof. The compds. have utility in that they may be used to treat estrogen mediated disorders. Thus, 2-Thiophen-2-yl-1H-indol-3-ylphenol was prepared via the intermediates including N-methoxy-2-(4-methoxyphenyl)-N-methylacetamide (from reaction of 4-methoxyphenylacetyl chloride and N,O-dimethylhydroxyamine hydrochloride), 2-(4-methoxyphenyl)-1-thiophen-2-ylethanone, N-[2-(4-methoxyphenyl)-1-thiophen-2-ylethylidene]-N'-phenylhydrazine and 3-(4-methoxyphenyl)-2-thiophen-2-yl-1H-indole.

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:656754 CAPLUS

DN 139:197482

TI Preparation of 2-[5-(5-carbamimidoyl-1H-heteroaryl)]-6-hydroxybiphenyl derivatives as factor VIIa inhibitors

IN Kolesnikov, Aleksandr; Rai, Roopa; Shrader, William Dvorak; Young, Wendy B.

PA Axy's Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003068756	A1	20030821	WO 2003-US4081	20030212
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

US 2002-356473PP 20020213

US 2003-439043PP 20030108

OS MARPAT 139:197482

IT 583032-11-5P 583032-13-7P 583032-14-8P

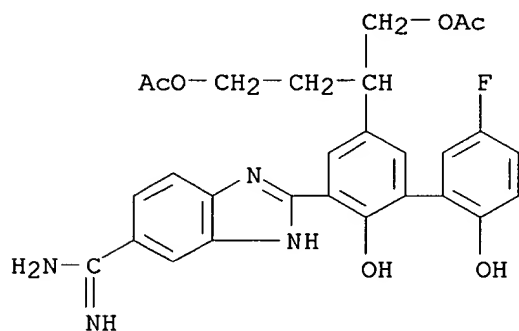
583032-16-0P 583032-19-3P 583032-21-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-[5-(5-carbamimidoyl-1H-heteroaryl)]-6-hydroxybiphenyl derivs. as factor VIIa inhibitors)

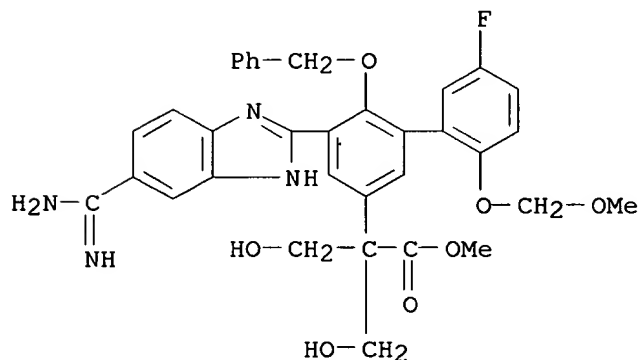
RN 583032-11-5 CAPLUS

CN 1H-Benzimidazole-5-carboximidamide, 2-[5-[3-(acetyloxy)-1-[(acetyloxy)methyl]propyl]-5'-fluoro-2,2'-dihydroxy[1,1'-biphenyl]-3-yl]-, hydrochloride (3:4) (9CI) (CA INDEX NAME)



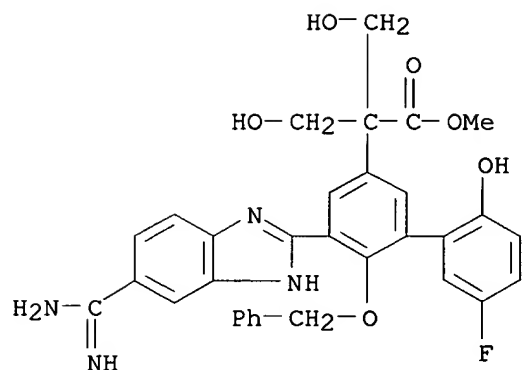
● 4/3 HCl

RN 583032-13-7 CAPLUS

CN [1,1'-Biphenyl]-3-acetic acid, 5-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-5'-fluoro- α,α -bis(hydroxymethyl)-2'-(methoxymethoxy)-6-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

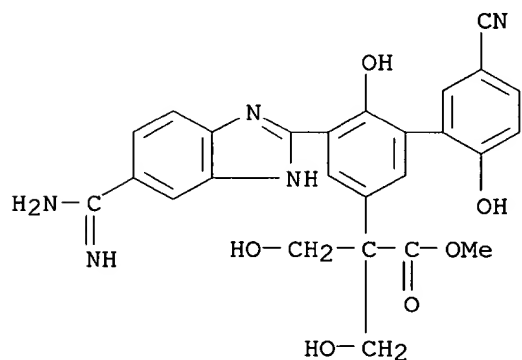
RN 583032-14-8 CAPLUS

CN [1,1'-Biphenyl]-3-acetic acid, 5-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-5'-fluoro-2'-hydroxy- α,α -bis(hydroxymethyl)-6-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



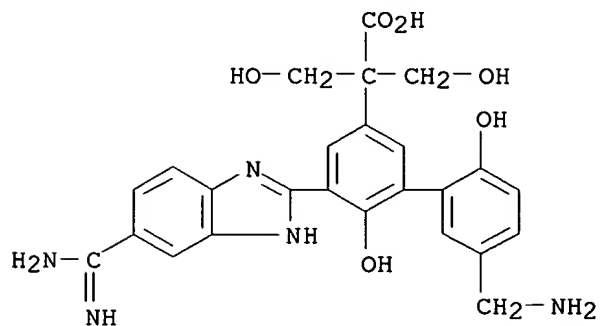
RN 583032-16-0 CAPLUS

CN [1,1'-Biphenyl]-3-acetic acid, 5-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-5'-cyano-2',6-dihydroxy-α,α-bis(hydroxymethyl)-, methyl ester (9CI) (CA INDEX NAME)

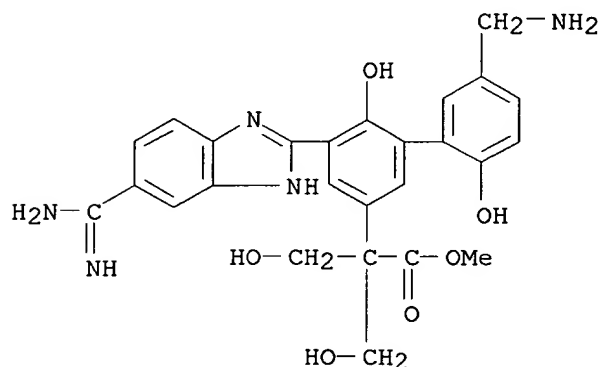


RN 583032-19-3 CAPLUS

CN [1,1'-Biphenyl]-3-acetic acid, 5-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-5'-(aminomethyl)-2',6-dihydroxy-α,α-bis(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 583032-21-7 CAPLUS

CN [1,1'-Biphenyl]-3-acetic acid, 5-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-5'-(aminomethyl)-2',6-dihydroxy- α,α -bis(hydroxymethyl)-, methyl ester (9CI) (CA INDEX NAME)

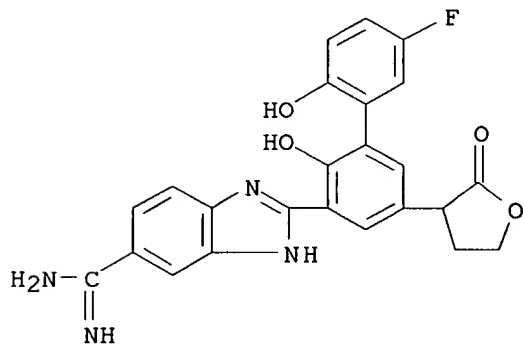
IT 583032-09-1P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 2-[5-(5-carbamimidoyl-1H-heteroaryl)]-6-hydroxybiphenyl derivs. as factor VIIa inhibitors)

RN 583032-09-1 CAPLUS

CN 1H-Benzimidazole-5-carboximidamide, 2-[5'-fluoro-2,2'-dihydroxy-5-(tetrahydro-2-oxo-3-furanyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



IT 583032-10-4P 583032-12-6P 583032-15-9P

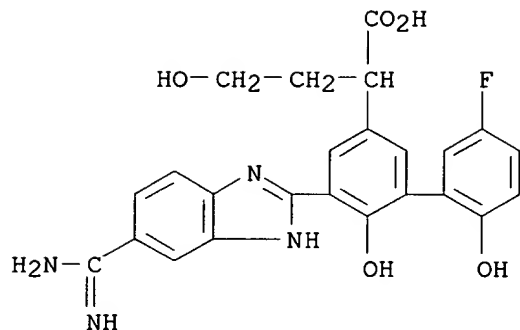
583032-18-2P 583032-20-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-[5-(5-carbamimidoyl-1H-heteroaryl)]-6-hydroxybiphenyl derivs. as factor VIIa inhibitors)

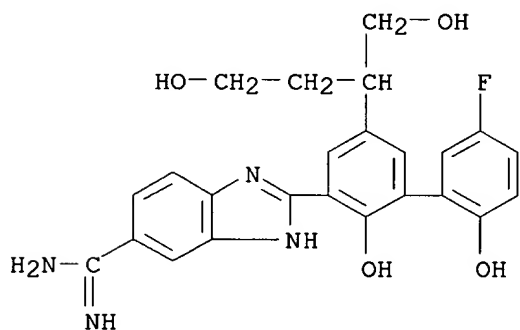
RN 583032-10-4 CAPLUS

CN [1,1'-Biphenyl]-3-acetic acid, 5-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-5'-fluoro-2',6-dihydroxy- α -(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



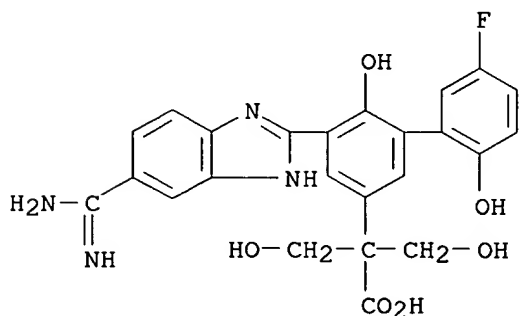
RN 583032-12-6 CAPLUS

CN 1H-Benzimidazole-5-carboximidamide, 2-[5'-fluoro-2,2'-dihydroxy-5-[3-hydroxy-1-(hydroxymethyl)propyl][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



RN 583032-15-9 CAPLUS

CN [1,1'-Biphenyl]-3-acetic acid, 5-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-5'-fluoro-2',6-dihydroxy- α,α -bis(hydroxymethyl)- (9CI) (CA INDEX NAME)

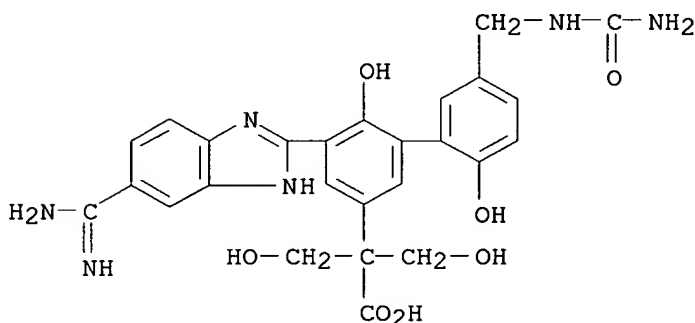


RN 583032-18-2 CAPLUS

CN [1,1'-Biphenyl]-3-acetic acid, 5-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-5'-fluoro-2',6-dihydroxy- α,α -bis(methoxymethyl)- (9CI)

COCOC(=O)C1=CC=C(C=C1C2=CC=C(C=C2)O)C(=Nc3ccccc3NC(=O)N)C4=CC=C(C=C4)O

CN [1,1'-Biphenyl]-3-acetic acid, 5'-[[(aminocarbonyl)amino]methyl]-5-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-2',6-dihydroxy- α,α -bis(hydroxymethyl)- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X1-X4 = N, (un)substituted CH; R1, R2 = H, alkyl, hydroxyalkyl, halogen; R3 = (un)substituted hydroxyalkyl, carboxyalkyl, carboxycycloalkyl, carboxyalkoxy, dicarboxyalkoxy, lactam; R4 = (un)substituted Ph; Y = H, OH, (un)substituted alkoxy, CO₂H] were prepared as inhibitors of factor VIIa and Xa (no data). Thus, the benzimidazole II was prepared from 4-HOC₆H₄CH:C(CO₂Me)₂ and 3,4-(H₂N)₂C₆H₃C(:NH)NH₂.HCl in 9 steps.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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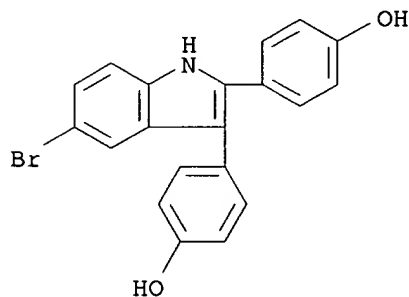
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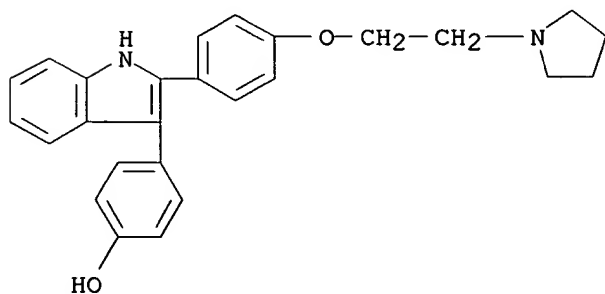
DN 140:4957
 TI **Indole** compounds and their use as estrogen agonists/antagonists
 IN Chesworth, Richard
 PA USA
 SO U.S. Pat. Appl. Publ., 19 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003220377	A1	20031127	US 2003-431282	20030507
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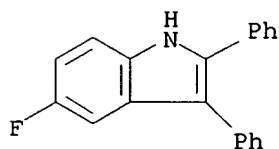
OS MARPAT 140:4957
 IT **628321-82-4P**, 2,3-Bis(4-hydroxyphenyl)-5-bromo-1H-**indole**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; in preparation of **indole** compds.)
 RN 628321-82-4 CAPLUS
 CN Phenol, 4,4'-(5-bromo-1H-indole-2,3-diyl)bis- (9CI) (CA INDEX NAME)



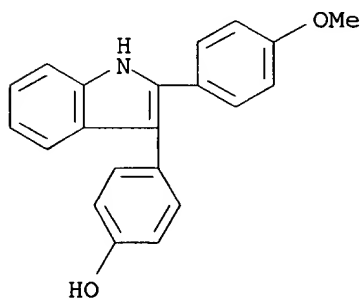
IT **628321-14-2P**
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of **indole** derivs. and their use as estrogen agonists/antagonists)
 RN 628321-14-2 CAPLUS
 CN Phenol, 4-[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1H-indol-3-yl]- (9CI)
 (CA INDEX NAME)



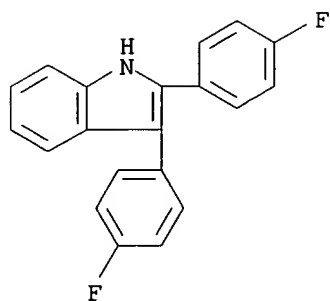
IT 604797-61-7P, 5-Fluoro-2,3-diphenyl-1H-indole
 628320-74-1P, 4-[2-(4-Methoxyphenyl)-1H-indol-3-yl]phenol
 628320-79-6P, 2,3-Bis(4-fluorophenyl)-1H-indole
 628320-83-2P, 4-[5-Chloro-3-(4-methoxyphenyl)-1H-indol-2-yl]phenol
 628320-88-7P, 2,3-Bis(4-hydroxyphenyl)-5-chloro-1H-indole
 628320-92-3P, 2,3-Bis(4-hydroxyphenyl)-7-chloro-1H-indole
 628320-97-8P, 2,3-Bis(4-hydroxyphenyl)-4-chloro-1H-indole
 628321-02-8P, 2,3-Bis(4-hydroxyphenyl)-6-chloro-1H-indole
 628321-05-1P, 4-[5-Bromo-2-(4-methoxyphenyl)-1H-indol-3-yl]phenol
 628321-10-8P, 4-(7-Chloro-2-phenyl-1H-indol-3-yl)phenol
 628321-28-8P, 4-[3-(4-Hydroxyphenyl)-1H-indol-2-yl]benzoic acid
 methyl ester 628321-31-3P, 4-[3-(4-Hydroxyphenyl)-1H-indol-2-yl]benzoic acid ethyl ester 628321-35-7P, 4-[3-(4-Hydroxyphenyl)-1H-indol-2-yl]benzoic acid isopropyl ester
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of **indole** derivs. and their use as estrogen agonists /antagonists)
 RN 604797-61-7 CAPLUS
 CN 1H-Indole, 5-fluoro-2,3-diphenyl- (9CI) (CA INDEX NAME)



RN 628320-74-1 CAPLUS
 CN Phenol, 4-[2-(4-methoxyphenyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

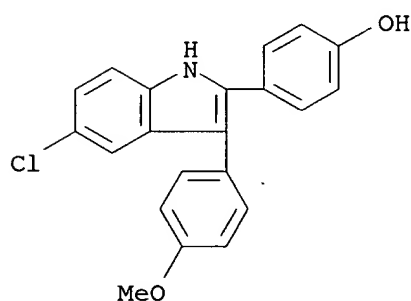


RN 628320-79-6 CAPLUS
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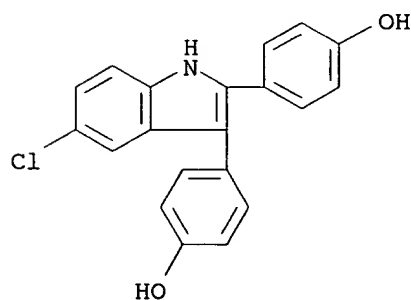
RN 628320-83-2 CAPLUS

CN Phenol, 4-[5-chloro-3-(4-methoxyphenyl)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)



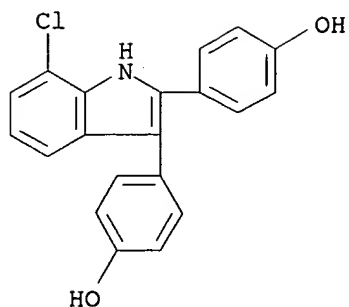
RN 628320-88-7 CAPLUS

CN Phenol, 4,4'-(5-chloro-1H-indole-2,3-diyl)bis- (9CI) (CA INDEX NAME)



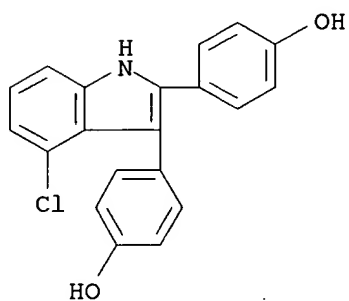
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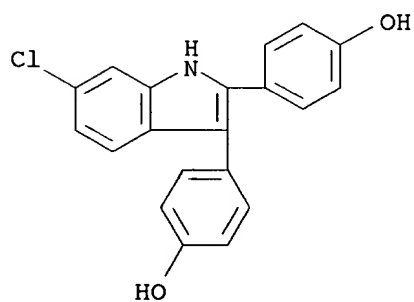
RN 628320-97-8 CAPLUS

CN Phenol, 4,4'-(4-chloro-1H-indole-2,3-diyl)bis- (9CI) (CA INDEX NAME)



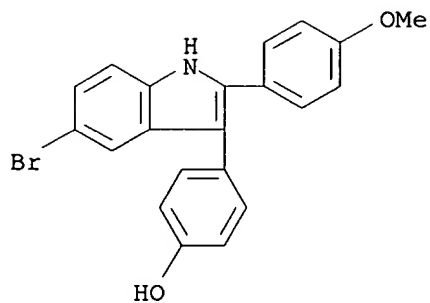
RN 628321-02-8 CAPLUS

CN Phenol, 4,4'-(6-chloro-1H-indole-2,3-diyl)bis- (9CI) (CA INDEX NAME)



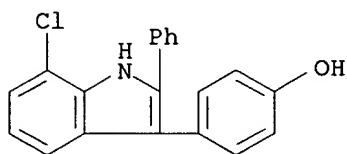
RN 628321-05-1 CAPLUS

CN Phenol, 4-[5-bromo-2-(4-methoxyphenyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

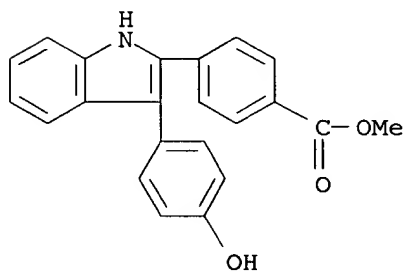


RN 628321-10-8 CAPLUS

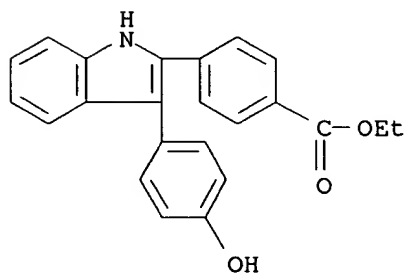
CN Phenol, 4-(7-chloro-2-phenyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



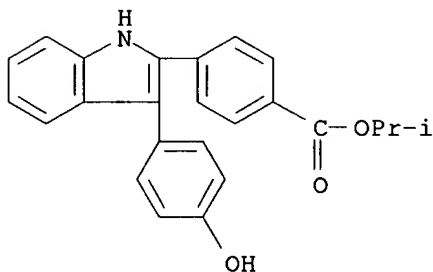
RN 628321-28-8 CAPLUS

CN Benzoic acid, 4-[3-(4-hydroxyphenyl)-1H-indol-2-yl]-, methyl ester (9CI)
(CA INDEX NAME)

RN 628321-31-3 CAPLUS

CN Benzoic acid, 4-[3-(4-hydroxyphenyl)-1H-indol-2-yl]-, ethyl ester (9CI)
(CA INDEX NAME)

RN 628321-35-7 CAPLUS

CN Benzoic acid, 4-[3-(4-hydroxyphenyl)-1H-indol-2-yl]-, 1-methylethyl ester
(9CI) (CA INDEX NAME)

AB This invention relates to compds., in particular **indoles**, that are useful as estrogen agonists and antagonists and pharmaceutical uses thereof. The present invention also relates to **indoles** that are selective for the ER β receptor and pharmaceutical uses thereof. The compds. have utility in that they may be used to treat estrogen mediated disorders. Thus, 2-Thiophen-2-yl-1H-indol-3-ylphenol was prepared via the intermediates including N-methoxy-2-(4-methoxyphenyl)-N-methylacetamide (from reaction of 4-methoxyphenylacetyl chloride and N,O-dimethylhydroxyamine hydrochloride), 2-(4-methoxyphenyl)-1-thiophen-2-ylethanone, N-[2-(4-methoxyphenyl)-1-thiophen-2-ylethylidene]-N'-phenylhydrazine and 3-(4-methoxyphenyl)-2-thiophen-2-yl-1H-**indole**

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

28.92

186.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-2.77

-2.77

STN INTERNATIONAL LOGOFF AT 13:45:44 ON 12 FEB 2004